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L10 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1998:394037 HCAPLUS

DOCUMENT NUMBER: 129:36430

TITLE: Screening assays for compounds

INVENTOR(S): Hirth, Klaus Peter; App, Harald; Tsai, Jianming

PATENT ASSIGNEE(S): Sugen, Inc., USA

SOURCE: U.S., 12 pp.

CODEN: USXXAM

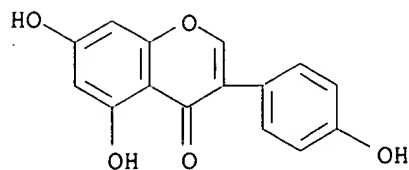
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5763198	A	19980609	US 1994-279321	19940722
PRIORITY APPLN. INFO.:			US 1994-279321	19940722
AB	The invention is directed to rapid and quant. assay systems for <b>screening</b> test compds. for their ability to modulate tyrosine kinase or phosphatase activities involved in signal transduction by detg. the tyrosine phosphorylation state of a protein substrate using an anti-phosphotyrosine antibody and an antibody specific for the protein substrate. These assays may be practiced in a whole cell or cell-free system. The assays can be used to identify test compds. for use in therapeutic applications to disease processes in which tyrosine kinase or phosphatase activity in a signal transduction pathway contributes to a pathol. process.			
IT	446-72-0, Genistein 70563-58-5, Herbimycin A 125697-92-9, Lavendustin A RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study) ( <b>screening</b> assays for compds. modulating specific protein tyrosine kinase involved in signal transduction)			
RN	446-72-0 HCAPLUS			
CN	4H-1-Benzopyran-4-one, 5,7-dihydroxy-3-(4-hydroxyphenyl)- (9CI) (CA INDEX NAME)			



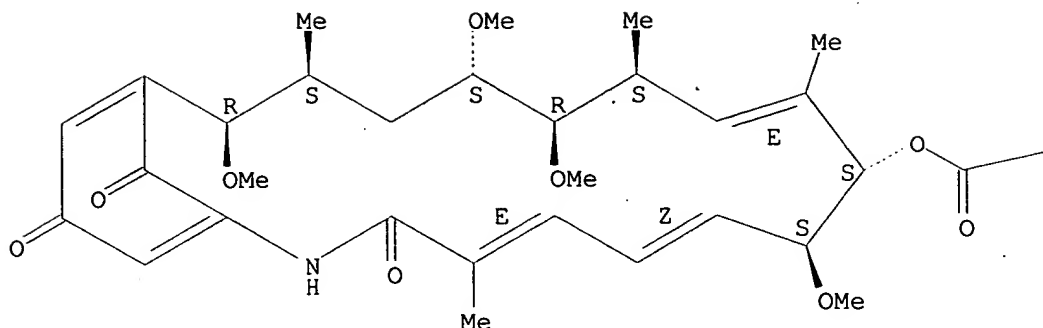
RN 70563-58-5 HCAPLUS

CN Geldanamycin, 17-demethoxy-15-methoxy-11-O-methyl-, (15R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

Double bond geometry as described by E or Z.

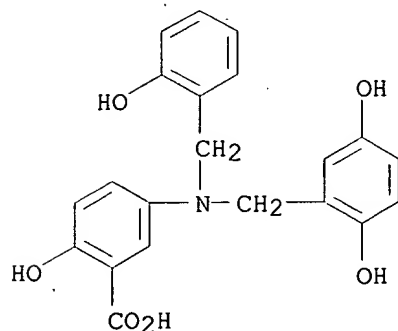
PAGE 1-A



PAGE 1-B

—NH<sub>2</sub>

RN 125697-92-9 HCAPLUS  
 CN Benzoic acid, 5-[[[(2,5-dihydroxyphenyl)methyl][(2-hydroxyphenyl)methyl]amino]-2-hydroxy- (9CI) (CA INDEX NAME)



IT 9025-75-6, Phosphoprotein phosphatase 80449-02-1,  
 Protein tyrosine kinase  
 RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL  
 (Biological study); PROC (Process)  
 (screening assays for compds. modulating specific protein  
 tyrosine kinase involved in signal transduction)  
 RN 9025-75-6 HCAPLUS  
 CN Phosphatase, protein phosphoserine/phosphothreonine (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 80449-02-1 HCAPLUS  
 CN Kinase (phosphorylating), protein (tyrosine) (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

REFERENCE COUNT: 65 THERE ARE 65 CITED REFERENCES AVAILABLE FOR THIS

## RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1997:640690 HCAPLUS

DOCUMENT NUMBER: 127:314804

TITLE: Assays for KDR/FLK-1 receptor tyrosine kinase inhibitors, and use of the inhibitors for treatment of vasculogenesis- and angiogenesis-related diseases

INVENTOR(S): Hirth, Klaus P.; McMahon, Gerald; Shawver, Laura K.

PATENT ASSIGNEE(S): Sugan, Inc., USA

SOURCE: PCT Int. Appl., 65 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9734920	A1	19970925	WO 1997-US3378	19970304
W:	AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GH, HU, IL, IS, JP, KG, KP, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
AU 9720667	A1	19971010	AU 1997-20667	19970304
PRIORITY APPLN. INFO.:			US 1996-621734	19960321
			WO 1997-US3378	19970304

AB Processes are disclosed for the identification of compds. and pharmaceutical compns. capable of selectively and potentially inhibiting KDR/FLK-1 tyrosine kinase signal transduction in order to inhibit vasculogenesis and/or angiogenesis. The invention also relates to compds. and compns. identified using the methods of the invention and the use thereof for the treatment of disease relating to inappropriate vasculogenesis and/or angiogenesis. The invention provides an assay cascade comprised of several "filter steps" of increasing selectivity which identify a limited subset of candidate compds. affecting the VEGF receptor on the mol. level.

IT 127464-60-2, Vascular endothelial growth factor  
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
(KDR/FLK-1 receptor tyrosine kinase inhibitor identification assay, and use of compds. for treatment of vasculogenesis- and angiogenesis-related diseases)

RN 127464-60-2 HCAPLUS

CN Vascular endothelial growth factor (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

IT 3359-49-7, SU 4928 5812-07-7, SU 4312 62540-08-3  
, SU 5208 91822-51-4, SU 4314 168835-82-3, SU 1498  
168835-90-3, SU 1433 186611-03-0, SU 4932  
186611-55-2, SU 4313 197592-54-4, SU 0879  
197592-55-5, SU 1076 197592-56-6, SU 1385  
197592-57-7, SU 1387 197592-58-8, SU 1393  
197592-61-3, SU 1835 197592-62-4, SU 4136  
197592-63-5, SU 4157 197592-64-6, SU 4161

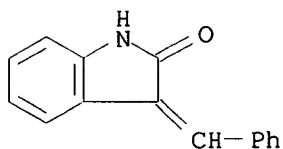
197592-65-7, SU 4209 197592-66-8, SU 4304  
 197592-67-9, SU 4328 197592-68-0, SU 4334  
 197592-69-1, SU 4348 197592-70-4, SU 4929  
 197592-71-5, SU 4936 197592-72-6, SU 4943  
 197592-73-7, SU 4945 197592-74-8, SU 5014  
 197592-75-9, SU 5015 204005-46-9, SU 5416

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(KDR/FLK-1 receptor tyrosine kinase inhibitor identification assay, and use of compds. for treatment of vasculogenesis- and angiogenesis-related diseases)

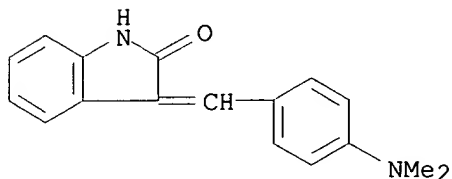
RN 3359-49-7 HCAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-(phenylmethylene)- (9CI) (CA INDEX NAME)



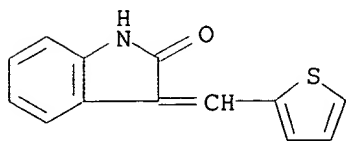
RN 5812-07-7 HCAPLUS

CN 2H-Indol-2-one, 3-[[4-(dimethylamino)phenyl]methylene]-1,3-dihydro- (9CI)  
 (CA INDEX NAME)



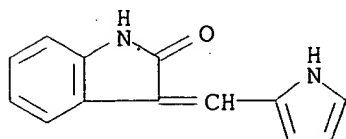
RN 62540-08-3 HCAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-(2-thienylmethylene)- (9CI) (CA INDEX NAME)



RN 91822-51-4 HCAPLUS

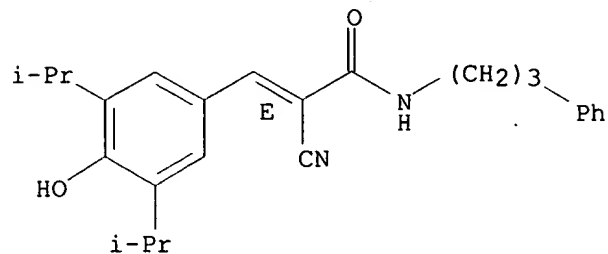
CN 2H-Indol-2-one, 1,3-dihydro-3-(1H-pyrrol-2-ylmethylene)- (9CI) (CA INDEX NAME)



RN 168835-82-3 HCAPLUS

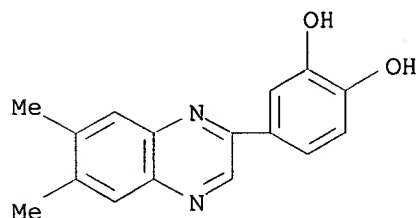
CN 2-Propenamide, 2-cyano-3-[4-hydroxy-3,5-bis(1-methylethyl)phenyl]-N-(3-phenylpropyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



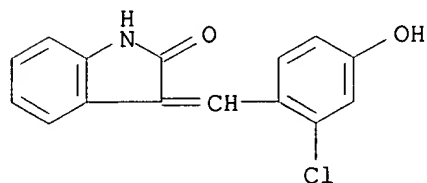
RN 168835-90-3 HCAPLUS

CN 1,2-Benzenediol, 4-(6,7-dimethyl-2-quinoxaliny)- (9CI) (CA INDEX NAME)



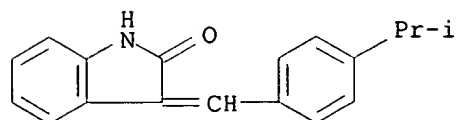
RN 186611-03-0 HCAPLUS

CN 2H-Indol-2-one, 3-[(2-chloro-4-hydroxyphenyl)methylene]-1,3-dihydro- (9CI) (CA INDEX NAME)



RN 186611-55-2 HCAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[[4-(1-methylethyl)phenyl]methylene]- (9CI) (CA INDEX NAME)



RN 197592-54-4 HCAPLUS

CN SU 0879 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 197592-55-5 HCAPLUS  
CN SU 1076 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 197592-56-6 HCAPLUS  
CN SU 1385 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 197592-57-7 HCAPLUS  
CN SU 1387 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 197592-58-8 HCAPLUS  
CN SU 1393 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 197592-61-3 HCAPLUS  
CN SU 1835 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 197592-62-4 HCAPLUS  
CN SU 4136 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 197592-63-5 HCAPLUS  
CN SU 4157 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 197592-64-6 HCAPLUS  
CN SU 4161 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 197592-65-7 HCAPLUS  
CN SU 4209 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 197592-66-8 HCAPLUS  
CN SU 4304 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 197592-67-9 HCAPLUS  
CN SU 4328 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 197592-68-0 HCAPLUS  
CN SU 4334 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 197592-69-1 HCAPLUS  
CN SU 4348 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 197592-70-4 HCAPLUS  
CN SU 4929 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 197592-71-5 HCAPLUS  
CN SU 4936 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 197592-72-6 HCAPLUS  
CN SU 4943 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 197592-73-7 HCAPLUS  
CN SU 4945 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

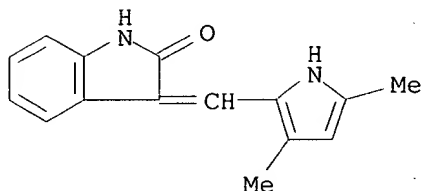
RN 197592-74-8 HCAPLUS  
CN SU 5014 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 197592-75-9 HCAPLUS  
CN SU 5015 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 204005-46-9 HCAPLUS  
CN 2H-Indol-2-one, 3-[(3,5-dimethyl-1H-pyrrol-2-yl)methylene]-1,3-dihydro-  
(9CI) (CA INDEX NAME)



IT 141350-03-0, Flt-1 VEGF receptor tyrosine kinase  
150977-45-0, Flk-1/KDR VEGF receptor tyrosine kinase  
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL  
(Biological study); PROC (Process)  
(KDR/FLK-1 receptor tyrosine kinase inhibitor identification assay, and  
use of compds. for treatment of vasculogenesis- and  
angiogenesis-related diseases)  
RN 141350-03-0 HCAPLUS  
CN Kinase (phosphorylating), vascular endothelial growth factor receptor,  
gene flt-1 (9CI) (CA INDEX NAME)

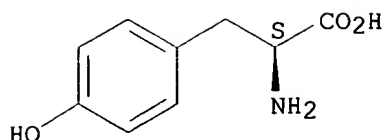
\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 150977-45-0 HCAPLUS  
CN Kinase (phosphorylating), gene flk-1 protein (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

IT 60-18-4, Tyrosine, biological studies  
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL  
(Biological study); PROC (Process)  
(phosphorylation; KDR/FLK-1 receptor tyrosine kinase inhibitor  
identification assay, and use of compds. for treatment of  
vasculogenesis- and angiogenesis-related diseases)  
RN 60-18-4 HCAPLUS  
CN L-Tyrosine (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L10 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2003 ACS on STN  
 ACCESSION NUMBER: 1997:145206 HCAPLUS  
 DOCUMENT NUMBER: 126:139857  
 TITLE: **Screening** assays for compounds  
 INVENTOR(S): Ullrich, Axel; App, Harald; Hirth, Klaus P.;  
 Tsai, Jianming  
 PATENT ASSIGNEE(S): Sugan, Inc., USA  
 SOURCE: PCT Int. Appl., 48 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9640276	A1	19961219	WO 1996-US8332	19960603
W: AL, AM, AU, AZ, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IL, IS, JP, KG, KP, KR, KZ, LK, LR, LS, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, UZ, VN, AM, AZ, BY				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9659658	A1	19961230	AU 1996-59658	19960603
EP 873142	A1	19981028	EP 1996-916950	19960603
R: CH, DE, FR, GB, IT, LI				

PRIORITY APPLN. INFO.: US 1995-488156 19950607  
 WO 1996-US8332 19960603

AB The invention is directed to rapid and quant. assay systems for **screening** test compds., such as **drugs** and ligands, for their ability to modulate tyrosine kinase or phosphotyrosine phosphatase activities involved in signal transduction. These assays involve monitoring the phosphorylation or dephosphorylation of tyrosine residues on selected substrates involved in signal transduction in a target cell and may be practiced in a whole cell or cell-free system. The assays can be used to identify compds. for use in therapeutic applications to disease processes in which tyrosine kinase or phosphatase activity in a signal transduction pathway contributes to a pathol. process, such as neoplasm, diabetes, anemia, immunodeficiency, inflammation, rheumatoid arthritis, etc.

IT 79747-53-8, Phosphotyrosine phosphatase 80449-02-1,  
 Protein tyrosine kinase  
 RL: BSU (Biological study, unclassified); BIOL (Biological study)  
 (modulators; **screening** assays for modulators of tyrosine  
 kinase or phosphotyrosine phosphatase activities involved in signal  
 transduction)

RN 79747-53-8 HCAPLUS

CN Phosphatase, phosphoprotein (phosphotyrosine) (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*



RN 80449-02-1 HCAPLUS

CN Kinase (phosphorylating), protein (tyrosine) (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

IT 446-72-0, Genistein 62996-74-1, Staurosporin

70563-58-5, Herbimycin A 125697-92-9, Lavendustin A

186371-06-2 186371-07-3 186371-08-4

186371-09-5 186371-10-8 186371-11-9

186371-12-0 186371-13-1 186371-14-2

RL: ANT (Analyte); BAC (Biological activity or effector, except adverse);

BSU (Biological study, unclassified); ANST (Analytical study); BIOL

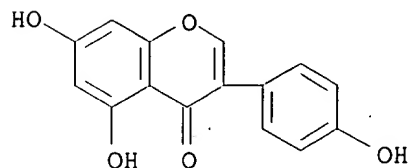
(Biological study)

(screening assays for modulators of tyrosine kinase or

phosphotyrosine phosphatase activities involved in signal transduction)

RN 446-72-0 HCAPLUS

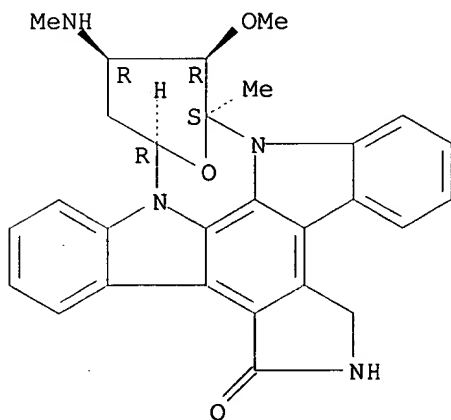
CN 4H-1-Benzopyran-4-one, 5,7-dihydroxy-3-(4-hydroxyphenyl)- (9CI) (CA INDEX NAME)



RN 62996-74-1 HCAPLUS

CN 9,13-Epoxy-1H,9H-diindolo[1,2,3-gh:3',2',1'-lm]pyrrolo[3,4-j][1,7]benzodiazonin-1-one, 2,3,10,11,12,13-hexahydro-10-methoxy-9-methyl-11-(methylamino)-, (9S,10R,11R,13R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



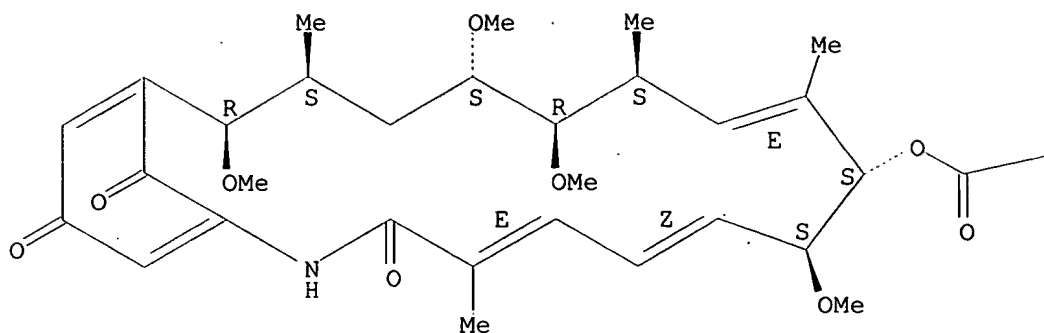
RN 70563-58-5 HCAPLUS

CN Geldanamycin, 17-demethoxy-15-methoxy-11-O-methyl-, (15R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

Double bond geometry as described by E or Z.

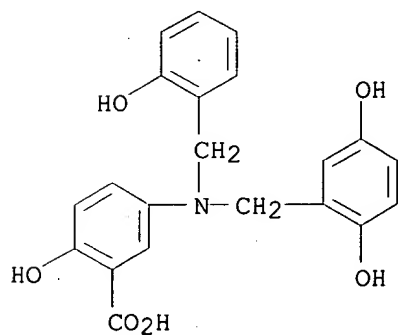
PAGE 1-A



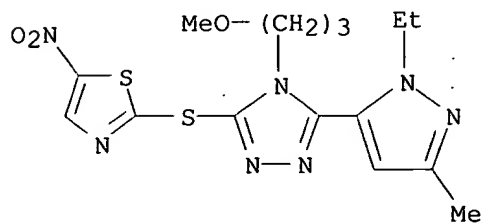
PAGE 1-B

—NH<sub>2</sub>

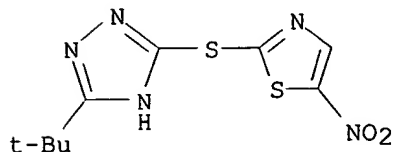
RN 125697-92-9 HCAPLUS  
 CN Benzoic acid, 5-[[[(2,5-dihydroxyphenyl)methyl][(2-hydroxyphenyl)methyl]amino]-2-hydroxy- (9CI) (CA INDEX NAME)



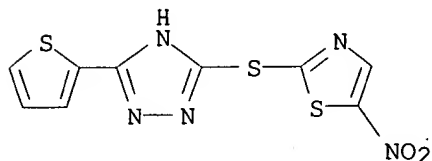
RN 186371-06-2 HCAPLUS  
 CN 4H-1,2,4-Triazole, 3-(1-ethyl-3-methyl-1H-pyrazol-5-yl)-4-(3-methoxypropyl)-5-[(5-nitro-2-thiazolyl)thio]- (9CI) (CA INDEX NAME)



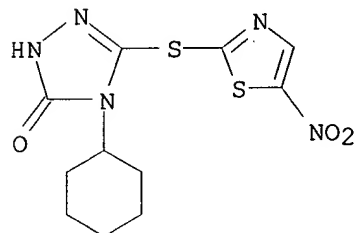
RN 186371-07-3 HCAPLUS  
CN 1H-1,2,4-Triazole, 3-(1,1-dimethylethyl)-5-[(5-nitro-2-thiazolyl)thio]-  
(9CI) (CA INDEX NAME)



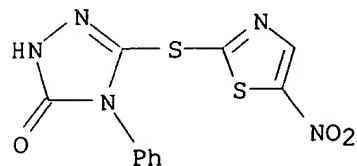
RN 186371-08-4 HCAPLUS  
CN 1H-1,2,4-Triazole, 3-[(5-nitro-2-thiazolyl)thio]-5-(2-thienyl)- (9CI) (CA  
INDEX NAME)



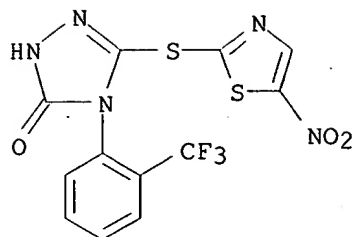
RN 186371-09-5 HCAPLUS  
CN 3H-1,2,4-Triazol-3-one, 4-cyclohexyl-2,4-dihydro-5-[(5-nitro-2-  
thiazolyl)thio]- (9CI) (CA INDEX NAME)



RN 186371-10-8 HCAPLUS  
CN 3H-1,2,4-Triazol-3-one, 2,4-dihydro-5-[(5-nitro-2-thiazolyl)thio]-4-phenyl-  
(9CI) (CA INDEX NAME)

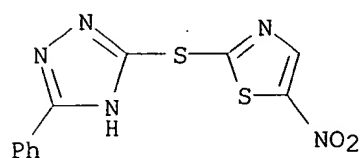


RN 186371-11-9 HCAPLUS  
CN 3H-1,2,4-Triazol-3-one, 2,4-dihydro-5-[(5-nitro-2-thiazolyl)thio]-4-[2-  
(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



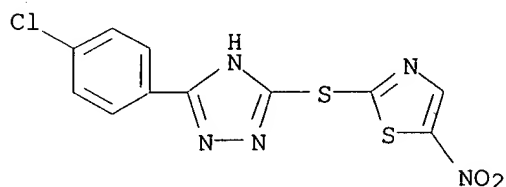
RN 186371-12-0 HCAPLUS

CN 1H-1,2,4-Triazole, 3-[(5-nitro-2-thiazolyl)thio]-5-phenyl- (9CI) (CA INDEX NAME)



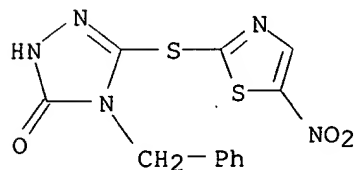
RN 186371-13-1 HCAPLUS

CN 1H-1,2,4-Triazole, 3-(4-chlorophenyl)-5-[(5-nitro-2-thiazolyl)thio]- (9CI) (CA INDEX NAME)



RN 186371-14-2 HCAPLUS

CN 3H-1,2,4-Triazol-3-one, 2,4-dihydro-5-[(5-nitro-2-thiazolyl)thio]-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



IT 21820-51-9, Phosphotyrosine

RL: ANT (Analyte); BSU (Biological study, unclassified); ANST (Analytical study); BIOL (Biological study)

(screening assays for modulators of tyrosine kinase or phosphotyrosine phosphatase activities involved in signal transduction)

RN 21820-51-9 HCAPLUS

CN L-Tyrosine, dihydrogen phosphate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

